## **WE CLAIM:**

1. A compound comprising the formula:

(I)

 $G = \begin{bmatrix} \begin{matrix} R_1 \\ C \end{matrix} \\ \begin{matrix} R_2 \end{matrix} \end{bmatrix}_a \begin{bmatrix} M_1 \end{bmatrix}_b = \begin{bmatrix} \begin{matrix} Y_1 \\ C \end{matrix} \end{bmatrix}_c \begin{matrix} E_4 \end{matrix}$ 

5 wherein:

or 
$$= \begin{bmatrix} \frac{R_3}{C} \\ \frac{1}{C} \\ \frac{1}{C} \end{bmatrix}_{d2} \begin{bmatrix} \frac{Y_2}{C} \\ \frac{1}{C} \\ \frac{1}{C} \end{bmatrix}_{f2} \begin{bmatrix} \frac{R_5}{C} \\ \frac{1}{C} \\ \frac{1}{C} \end{bmatrix}_{g2} \begin{bmatrix} \frac{R_7}{C} \\ \frac{1}{C} \\ \frac{1}{C} \end{bmatrix}_{f2} \begin{bmatrix} \frac{R_9}{C} \\ \frac{1}{C} \\ \frac{1}{C} \end{bmatrix}_{f2} \begin{bmatrix} \frac{Y_3}{C} \\ \frac{Y_3}{C} \end{bmatrix}_{f2} \begin{bmatrix} \frac{Y_3}{C} \\ \frac{Y_3}{C$$

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 $E_{1-4}$  are independently selected from the group consisting of hydrogen,  $C_{1-6}$  alkyls,  $C_{3-12}$  branched alkyls,  $C_{3-8}$  cycloalkyls,  $C_{1-6}$  substituted alkyls,  $C_{3-8}$  substituted cycloalkyls, aryls, substituted aryls, aralkyls,  $C_{1-6}$  heteroalkyls, substituted  $C_{1-6}$  heteroalkyls,  $C_{1-6}$  alkoxy, phenoxy,  $C_{1-6}$  heteroalkoxy,

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$$= \begin{bmatrix} R_3 \\ C \\ R_4 \end{bmatrix}_{d1} \begin{bmatrix} M_2]_{e1} \begin{bmatrix} Y_2 \\ C \\ R_6 \end{bmatrix}_{g1} \begin{bmatrix} R_5 \\ C \\ R_6 \end{bmatrix}_{g1} \begin{bmatrix} M_3]_{h1} \underbrace{ \begin{bmatrix} R_7 \\ C \\ R_8 \end{bmatrix}_{i1} \begin{bmatrix} M_4]_{j1} \\ \begin{bmatrix} R_9 \\ R_{10} \end{bmatrix}_{i1} \begin{bmatrix} M_5]_{m1} \\ \begin{bmatrix} M_5 \end{bmatrix}_{m1} \end{bmatrix} \begin{bmatrix} Y_3 \\ C \\ R_{10} \end{bmatrix}_{i1}$$

or

or 
$$\frac{\begin{bmatrix} R_3 \\ C \\ R_4 \end{bmatrix}_{d2} \begin{bmatrix} M_2 l_{e2} + \begin{bmatrix} Y_2 \\ C \\ R_6 \end{bmatrix}_{f2} \begin{bmatrix} R_5 \\ C \\ R_6 \end{bmatrix}_{g2} \begin{bmatrix} M_3 l_{h2} + \begin{bmatrix} R_7 \\ C \\ R_8 \end{bmatrix}_{i2} \begin{bmatrix} M_4 l_{i2} \\ R_{10} \end{bmatrix}_{i2} \begin{bmatrix} R_9 \\ R_{10} \end{bmatrix}_{i2} \begin{bmatrix} M_5 l_{m2} - \begin{bmatrix} Y_3 \\ C \\ R_{10} \end{bmatrix}_{i2}$$

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and at least one of E<sub>1-4</sub> includes a B moiety;

B is a leaving group, OH, a residue of a hydroxyl-containing moiety, a residue of an amine-containing moiety or

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wherein E<sub>5</sub> is independently selected from the same group which defines

$$\begin{array}{c} E_{1\text{-}4}; \\ F_{1a} \\ J_1 \text{ is } - \overset{}{\underset{\leftarrow}{C}} - E_{2a}, \\ \overset{}{\underset{\leftarrow}{E}_{3a}} \end{array}$$

30  $\left(E_{1a-3a}\right)$  are independently selected from the group consisting of hydrogen,  $C_{1-6}$  alkyls,

 $C_{3-12}$  branched alkyls,  $C_{3-8}$  cycloalkyls,  $C_{1-6}$  substituted alkyls,  $C_{3-8}$  substituted cycloalkyls, aryls, substituted aryls, aralkyls,  $C_{1-6}$  heteroalkyls, substituted  $C_{1-6}$  heteroalkyls,  $C_{1-6}$  alkoxy, phenoxy,  $C_{1-6}$  heteroalkoxy,

wherein B<sub>1</sub> is a leaving group, OH, a residue of a hydroxyl-containing moiety or a residue of an amine-containing moiety or E<sub>6</sub>

wherein  $E_6$  is independently selected from the same group which defines  $E_{1-4}$ ;

$$J_2$$
 is  $-C-E_{2b}$ ,  $E_{3b}$ 

wherein E<sub>1b-3b</sub> are independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyls, C<sub>3-12</sub> branched alkyls, C<sub>3-8</sub> cycloalkyls, C<sub>1-6</sub> substituted alkyls, C<sub>3-8</sub> substituted cycloalkyls, aryls, substituted aryls, aralkyls, C<sub>1-6</sub> heteroalkyls,

substituted C<sub>1-6</sub> heteroalkyls, C<sub>1-6</sub> alkoxy, phenoxy, C<sub>1-6</sub> heteroalkoxy,

$$\begin{array}{c|c} \hline \begin{bmatrix} R_{3c} \\ C \\ R_{4c} \end{bmatrix}_{d5} & \begin{bmatrix} M_{2c} \\ C \\ R_{6c} \end{bmatrix}_{f5} & \begin{bmatrix} R_{5c} \\ C \\ R_{6c} \end{bmatrix}_{g5} & \begin{bmatrix} R_{7c} \\ C \\ R_{8c} \end{bmatrix}_{i5} & \begin{bmatrix} R_{9c} \\ C \\ R_{10c} \end{bmatrix}_{i5} & \begin{bmatrix} M_{5c} \\ R_{10c} \end{bmatrix}_{i5} & \begin{bmatrix} M_{5c} \\ R_{10c} \end{bmatrix}_{i5} & \begin{bmatrix} R_{9c} \\ R_{10c} \end{bmatrix}_{i5} & \begin{bmatrix}$$

wherein B<sub>2</sub> is a leaving group, OH, a residue of a hydroxyl-containing moiety or a residue of an amine-containing moiety;

G is a polymeric residue;

 $Y_{1-3}$ ,  $Y_{2a-d}$  and  $Y_{3a-d}$  are each independently O, S or  $NR_{11a}$ 

 $M_{1\text{--}4},\,M_{2\text{a--}2\text{d}},\,M_{3\text{a--}3\text{d}},$  and  $M_{4\text{a--}4\text{d}}$  are each independently O, S or NR  $_{11\text{b}};$ 

 $M_5$  and  $M_{5a-d}$  are each independently X or Q,

wherein X is an electron withdrawing group and Q is a moiety containing a free electron pair positioned three to six atoms from  $C(=Y_3)$  or  $C(=Y_{3a-d})$ ;

 $R_{1\text{--}10}$ ,  $R_{1\text{a--}11\text{a}}$ ,  $R_{1\text{b--}11\text{b}}$ ,  $R_{1\text{c--}10\text{c}}$  and  $R_{1\text{d--}10\text{d}}$  are each independently selected from the group consisting of hydrogen,  $C_{1\text{--6}}$  alkyls,  $C_{3\text{--}12}$  branched alkyls,  $C_{3\text{--8}}$  cycloalkyls,  $C_{1\text{--6}}$  substituted alkyls,  $C_{3\text{--8}}$  substituted cycloalkyls, aryls, substituted aryls, aralkyls,  $C_{1\text{--6}}$  heteroalkyls, substituted  $C_{1\text{--6}}$  heteroalkyls,  $C_{1\text{--6}}$  alkoxy, phenoxy and

C<sub>1-6</sub> heteroalkoxy; and

a, b, c, d1-d6, e1-e6, f1-f6, g1-g6, h1-h6, i1-i6, j1-j6, k1-k6, l1-l6, m1-m6 are each independently zero or a positive integer.

2. The compound of claim 1, wherein G further comprises a capping group A, which is selected from the group consisting of hydrogen, CO<sub>2</sub>H, C<sub>1.6</sub> alkyl moieties, and

wherein  $a, b, c, R_{1-2}, M_1, Y_1, E_4$  and J are the same as set forth in claim 1.

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3. A compound of claim 2, of the formula:

$$\begin{bmatrix} E_4 \\ N + \begin{bmatrix} Y_1 \\ C \end{bmatrix}_c [M_1]_b + \begin{bmatrix} R_1 \\ C \end{bmatrix}_a G + \begin{bmatrix} R_1 \\ C \end{bmatrix}_a [M_1]_b + \begin{bmatrix} Y_1 \\ C \end{bmatrix}_c N \end{bmatrix}$$

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- 4. The compound of claim 1, where a, b, c, d1-d6, e1-e6, f1-f6, g1-g6, h1-h6, i1-i6, j1-j6, k1-k6, l1-l6, m1-m6 are independently zero, one or two.
- The compound of claim  $^{4}$ , wherein  $R_{1}$  and  $R_{2}$  are both H, a and c are one,  $Y_{1}$  is O and both  $E_{1}$  and  $E_{4}$  are H.
  - 6. The compound of claim 1, wherein G is polyalkylene oxide residue.
- 7. The compound of claim 6, wherein G is a polyethylene glycol residue.
  - 8. The compound of claim 1, wherein G is -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>x</sub> or -O-(CH(CH<sub>3</sub>)CH<sub>2</sub>O)<sub>x</sub>

wherein x is the degree of polymerization.

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- 9. The compound of claim 8, wherein G is -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>x</sub> and x is a positive integer so that the weight average molecular weight is at least about 20,000.
- The compound of claim 9, wherein G has a weight average molecular weight of from about 20,000 to about 100,000.
  - 11. The compound of claim 10, wherein G has a weight average molecular weight of from about 25,000 to about 60,000.

- 12. The compound of claim 1, wherein B is a residue of an amine containing moiety.
  - 13. The compound of claim 12, wherein said amine-containing moiety is

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wherein

 $R_{12\text{-}13} \ \text{are independently selected from the group consisting of hydrogen,}$   $C_{1\text{-}6} \ \text{alkyls}, \ C_{3\text{-}12} \ \text{branched} \ \ \text{alkyls}, \ C_{3\text{-}8} \ \text{cycloalkyls}, \ C_{1\text{-}6} \ \ \text{substituted alkyls},$ 

 $C_{3-8}$  substituted cycloalkyls, aryls, halo, substituted aryls, aralkyls,  $C_{1-6}$  heteroalkyls, substituted  $C_{1-6}$  heteroalkyls;

 $R_{14-18}$  are independently selected from alkoxy, e.g.  $OR_{19}$  or, in the alternative, H, OH,  $N_3$ ,  $NHR_{20}$ ,  $NO_2$  or CN, fluoro, chloro, bromo, iodo, where  $R_{19-20}$  are independently selected from the same group which defines  $R_{12-13}$ .

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14. A compound of claim 3, selected from the group consisting of:

wherein Z is one of:

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$$-NH - (CH_2 - CH_2 - O)_2 C - B - NH - (CH_2 - CH_2 - O)_2 CH_2 - G - B$$

$$-NH - (CH_2 - CH_2 - O)_2 CH_2 - CH_2 - NH - C - B - NH - (CH_2 - CH_2 - O)_2 C - B$$

$$-NH - (CH_2 - CH_2 - O)_2 CH_2 - CH_2 - NH - C - B - NH - (CH_2 - CH_2 - O)_2 C - B$$

$$-NH - (CH_2 - CH_2 - O)_2 C - B - NH - (CH_2 - CH_2 - O)_2 C - B$$

$$-NH - (CH_2 - CH_2 - O)_2 C - B - NH - (CH_2 - CH_2 - O)_2 C - B$$

$$-NH - (CH_2 - CH_2 - O)_2 C - B - NH - (CH_2 - CH_2 - O)_2 C - B$$

and 
$$-NH - (CH_2 - CH_2 - CH_$$

## 15. A method of preparing a polymeric transport system, comprising

a) reacting compound of the formula:

$$B_{3}[M_{3}]_{h1} = \begin{bmatrix} R_{7} \\ C \\ R_{8} \end{bmatrix}_{i1} \begin{bmatrix} M_{4}]_{j1} \\ R_{10} \end{bmatrix}_{k1} \begin{bmatrix} R_{9} \\ C \\ R_{10} \end{bmatrix}_{l1} \begin{bmatrix} M_{5}]_{m1} \\ C \\ C \\ R_{10} \end{bmatrix}_{l1}$$

wherein

B is a residue of a biologically active amine-containing moiety or a hydroxyl-containing moiety;

B<sub>3</sub> is a cleavable protecting group;

10  $Y_3$  is O, S, or  $NR_{11a}$ ;

M<sub>3</sub> and M<sub>4</sub> are independently O, S, or NR<sub>11b</sub>;

 $M_5$  is X or Q;

wherein X is an electron withdrawing group and Q is a moiety containing a free electron pair positioned three to six atoms from  $C(=Y_3)$ ;

R<sub>7-10</sub> and R<sub>11a-b</sub> are independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyls, C<sub>3-12</sub> branched alkyls, C<sub>3-8</sub> cycloalkyls, C<sub>1-6</sub> substituted alkyls, C<sub>3-8</sub> substituted cycloalkyls, aryls, substituted aryls, aralkyls, C<sub>1-6</sub> heteroalkyls and substituted C<sub>1-6</sub> heteroalkyls;

h1-m1 are each independently zero or a positive integer;

- b) cleaving the cleavable protecting group B<sub>3</sub>; and
  - c) reacting the resultant compound with a compound of the formula

$$G = \begin{bmatrix} R_1 \\ C \\ R_2 \end{bmatrix} = \begin{bmatrix} M_1 \end{bmatrix}_b = \begin{bmatrix} Y_1 \\ C \\ \end{bmatrix}_c = \begin{bmatrix} E'_4 \\ V \end{bmatrix}$$

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wherein

$$J' \ is \ - \begin{matrix} E'_1 \\ -C - E'_2 \\ E'_3 \end{matrix} , \ - \begin{matrix} \begin{matrix} R_3 \\ C \\ R_4 \end{matrix} \bigg|_{d1} [M_2]_{e1} - \begin{matrix} Y_1^2 \\ C \\ R_6 \end{matrix} \bigg|_{g1} B_4 \ or \ - \begin{matrix} R_3 \\ C \\ R_4 \end{matrix} \bigg|_{d2} [M_2]_{e2} - \begin{matrix} Y_2 \\ C \\ C \end{matrix} \bigg|_{f2} \begin{matrix} R_5 \\ C \\ R_6 \end{matrix} \bigg|_{g2} B_4$$

E'<sub>1.4</sub> are independently selected from the group consisting of hydrogen,
 C<sub>1-6</sub> alkyls, C<sub>3-12</sub> branched alkyls, C<sub>3-8</sub> cycloalkyls, C<sub>1-6</sub> substituted alkyls,

 $C_{3-8}$  substituted cycloalkyls, aryls, substituted aryls, aralkyls,  $C_{1-6}$  heteroalkyls, substituted  $C_{1-6}$  heteroalkyls,  $C_{1-6}$  alkoxy, phenoxy,  $C_{1-6}$  heteroalkoxy,

$$\frac{\begin{bmatrix} R_3 \\ C \\ R_4 \end{bmatrix}_{d1} \begin{bmatrix} M_2]_{e1} + \begin{bmatrix} Y_2 \\ C \end{bmatrix}_{f1} \begin{bmatrix} R_5 \\ C \\ R_6 \end{bmatrix}_{g1} B_4 \text{ or } \frac{\begin{bmatrix} R_3 \\ C \\ R_4 \end{bmatrix}_{d2} \begin{bmatrix} M_2]_{e2} + \begin{bmatrix} Y_2 \\ C \end{bmatrix}_{f2} \begin{bmatrix} R_5 \\ C \\ R_6 \end{bmatrix}_{g2} B_4 }$$

wherein

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B<sub>4</sub> is a leaving group;

G is a polymer residue;

Y<sub>1-2</sub> are independently O, S, or NR<sub>11a</sub>;

 $M_{1-2}$  are independently O, S, or  $NR_{11b}$ 

 $R_{1-6}$ ,  $R_9$  and  $R_{10}$  are independently selected from the group consisting of hydrogen,  $C_{1-6}$  alkyls,  $C_{3-12}$  branched alkyls,  $C_{3-8}$  cycloalkyls,  $C_{1-6}$  substituted alkyls,  $C_{3-8}$  substituted cycloalkyls, aryls, substituted aryls, aralkyls,  $C_{1-6}$  heteroalkyls and substituted  $C_{1-6}$  heteroalkyls;

a, b, c,  $d_1$ - $g_1$  and  $d_2$ - $g_2$  are each independently zero or a positive integer, whereby a polymeric conjugate is formed.

16. A method of preparing a polymeric transport system, comprising:
reacting a biologically active moiety containing an unprotected amino or
hydroxyl group with polymeric residue containing a terminal moiety of the formula:

wherein:

 $Y_3$  is O, S, or  $NR_{11a}$ ;

R<sub>7-10</sub> and NR<sub>11a</sub> are independently selected from the group consisting of hydrogen, C<sub>1-6</sub> alkyls, C<sub>3-12</sub> branched alkyls, C<sub>3-8</sub> cycloalkyls, C<sub>1-6</sub> substituted alkyls, C<sub>3-8</sub> substituted cycloalkyls, aryls, substituted aryls, aralkyls, C<sub>1-6</sub> heteroalkyls and substituted C<sub>1-6</sub> heteroalkyls;

M<sub>4-5</sub> are independently O, S, or NR<sub>11b</sub>:

30 B<sub>5</sub> is a leaving group capable of reacting with an unprotected amino or

hydroxyl group of a biologically active moiety; and i1-m1 are each independently zero or a positive integer, whereby a polymeric conjugate is formed.

- 5 17. A method of treatment, comprising:
  administering to a mammal in need of such treatment an effective amount of a
  compound of claim 1, wherein B is a residue of a biologically active moiety.
  - 18. A method of treatment, comprising:
- administering to a mammal in need of such treatment an effective amount of a compound of claim 3, wherein B is a residue of a biologically active moiety.